

549, 248

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
14 October 2004 (14.10.2004)

PCT

(10) International Publication Number  
WO 2004/087684 A1

(51) International Patent Classification<sup>7</sup>: C07D 271/12, 285/14, A61K 51/04

(74) Agent: GRUBB, Philip; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).

(21) International Application Number:  
PCT/EP2004/003517

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(22) International Filing Date: 2 April 2004 (02.04.2004)

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0307855.7 4 April 2003 (04.04.2003) GB

(71) Applicant (for all designated States except AT, US): NOVARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

(71) Applicant (for AT only): NOVARTIS PHARMA GMBH [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).

(72) Inventors; and

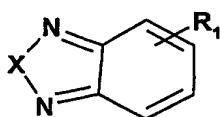
(75) Inventors/Applicants (for US only): AUBERSON, Yves [CH/CH]; Maiengasse 4, CH-4123 Allschwil (CH). HINTERMANN, Samuel [CH/CH]; Klingelbergstrasse 93, CH-4056 Basel (CH). ZIMMERMANN, Kaspar [CH/CH]; Am Chatzebach 4, CH-4104 Oberwil (CH).

Published:

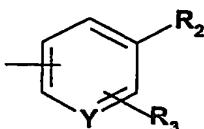
— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: BENZO[1,2,5]OXADIAZOLES AND BENZO [1,2,5]THIADIAZOLES USEFUL AS HISTOPATHOLOGICAL STAINING AGENTS, IMAGING AGENTS AND BIOMARKERS



(I)



(a)

(57) Abstract: The present invention relates to novel benzo[1,2,5]oxadiazoles and benzo[1,2,5]thiadiazoles of formula (I) wherein X is O or S, R<sub>1</sub> is 5-(2-fluoro-ethylamino)-thiazol-2-yl, 5-(2-<sup>18</sup>F-ethylamino)-thiazol-2-yl or a group of formula (a) wherein Y is CH or N, R<sub>2</sub> is NHCH<sub>3</sub>, NH<sup>11</sup>CH<sub>3</sub>, N(CH<sub>3</sub>)<sup>11</sup>CH<sub>3</sub>, N(CH<sub>3</sub>)<sub>2</sub>, N(<sup>11</sup>CH<sub>3</sub>)<sub>2</sub>, NH(CH<sub>2</sub>)<sub>n</sub>F, NH(CH<sub>2</sub>)<sub>n</sub><sup>18</sup>F, N(CH<sub>3</sub>)(CH<sub>2</sub>)<sub>n</sub>F, N(CH<sub>3</sub>)-(CH<sub>2</sub>)<sub>n</sub><sup>18</sup>F, O-(CH<sub>2</sub>)<sub>n</sub>F, O-(CH<sub>2</sub>)<sub>n</sub><sup>18</sup>F, CONH(CH<sub>2</sub>)<sub>n</sub>F or CONH(CH<sub>2</sub>)<sub>n</sub><sup>18</sup>F (n being in each case 2 to 4) and R<sub>3</sub> is hydroxy, (C1-4)alkoxy, hydrogen or nitro, in free base or acid addition salt form; their preparation, their use as markers in diagnosis and compositions containing them.

WO 2004/087684 A1